

S40-1 Target identification of bioactive small molecules

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In human history, bioactive small molecules have been utilized for improving human health and for revealing secrets of life. The most direct way to utilize small molecules for biological investigations is to isolate/identify target proteins. However, identification of the targets of bioactive small molecules is a technical challenge in the field. This presentation introduces a peptide-based “fishing-rod” approach to boosting the capacity of affinity purification and provides a quick overview of its application to the target isolation of a range of bioactive molecules.

The fishing-rod technology is not innovative: it is an improvement of an existing technology. Nevertheless, our laboratory has been able to isolate previously unrecognized targets of indomethacin and marine natural product aurilide. This technology has now been used in drug discovery programs in five pharmaceutical companies. The strength and weakness of the technology and its further improvement will be discussed.

Reference

Sato, S., Kwon, Y., Kamisuki, S., Srivastava, N., Mao, Q., Kawazoe, Y., Uesugi, M. *J. Am. Chem. Soc.* **129**, 873-880 (2007)

